

or a pharmaceutically acceptable salt thereof,
wherein:

R_1 is a bond - , - , - ,

- , - , - , - , or -

wherein X is a halogen and Y is an alkyl group and

wherein indicates bonding to R_2 at any position

and indicates bonding to R_2 and the substituent
at any position; and

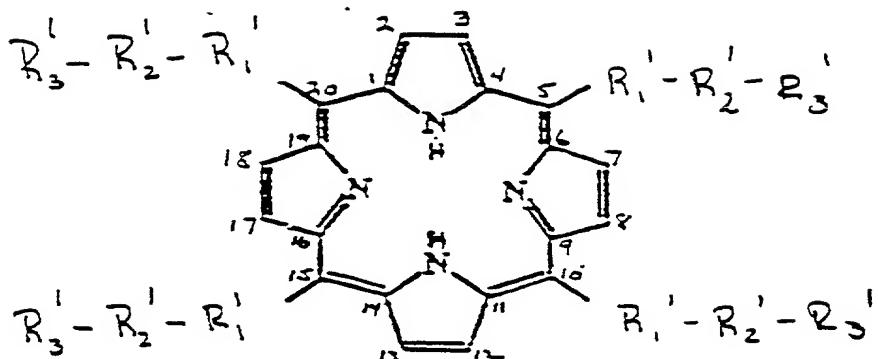
R_2 is a bond, - $(CY')_2n^-$, - $(CY')_2-CY'=CY')_n^-$,

- $(CY')_2-CY'_2-CH=CH)_n^-$, - $(CY'=CY')_n^-$, or - $(CY'_2-C)_n^-$,

wherein Y' is hydrogen or an alkyl group and wherein n is 1 to 8; and

R_3 is - Y'' , - OH , - NH_2 , - $N^+(Y'')_3$, - $COCH$, - CCO^- ,
- SO_3H , - SO_3^- , - $C-PO_3H_2$ or - $C-PO_3H^-$, wherein Y'' is an alkyl group.

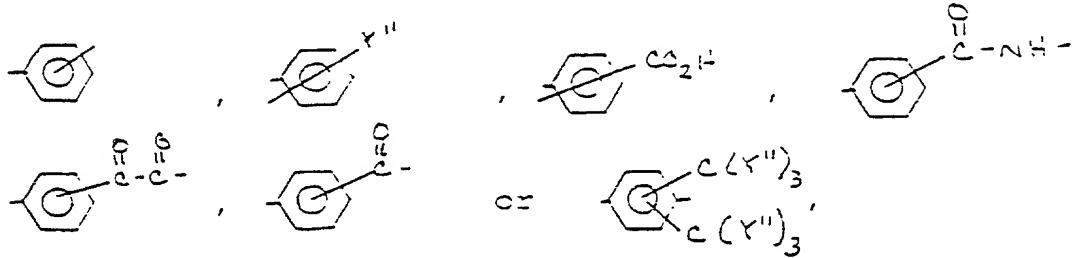
Fig. 1A



or a pharmaceutically acceptable salt thereof,

wherein:

each R_1' is independently a bond, $\text{--}\text{C}_6\text{H}_4\text{X}''$, $\text{--}\text{CH}_2\text{OH}$



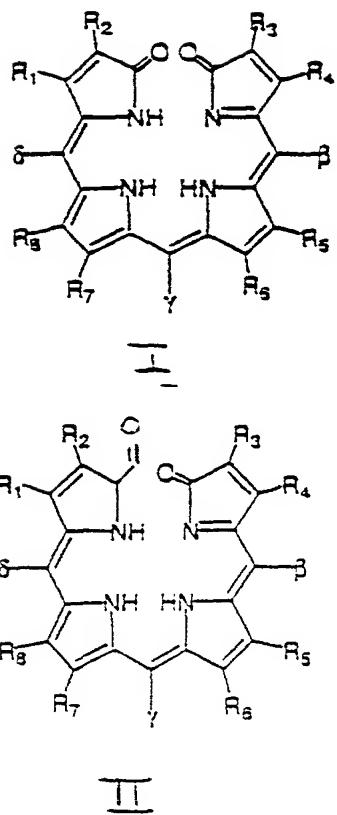
wherein Y'' is an alkyl group, and wherein $\text{---}\text{C}_6\text{H}_4\text{---}$ indicates bonding to R_1' at any position and $\text{---}\text{C}_6\text{H}_3\text{---}$ indicates bonding to R_1' and the R_1' phenyl substituent at any position;

each R_2' is independently a bond, or $-(\text{CH}_2)_n-$ wherein n is 1-4,

each R_3' is independently $-Y''$, $-Y'''$, $-\text{H}$, $-\text{OH}$, $-\text{OY}''$, $-\text{NO}_2$, $-\text{CN}$, $-\text{NH}_2$, $-\text{COOH}$, $-\text{COY}''$, $-\text{COO}^-$, or a heterocyclic group, wherein Y'' is as defined above and Y''' is a primary, secondary, tertiary or quaternary amine.

Fig. 1B.

Fig. 1C



SEARCHED = UNCLASSIFIED

R₁ through R₈ are, independently, -H, alkyl, 2-hydroxyalkyl, methoxyalkyl, halogen, nitro, cyano, trialkylammonium, formyl, amide of carboxylic acid, alkyl ester of carboxylic acid, carboxylic acid, glucuronyl or glyceryl ester of carboxylic acid, 1,2-dihydroxyalkyl, acetyl, vinyl, glycosyl or, taurate, and

β, γ and δ are, independently, -H, acetyl, glycyl, benzoate, phenylsulfonate, 2-, or 3-, or 4-N-alkyl-pyridyl, nitrophenyl, halophenyl, methoxyalkyl, halogen, nitro, cyano, trialkylammonium, formyl, amide of carboxylic acid.

Fig 1D

1 0 0 5 1 2 6 3 0 1 2 2 0 2

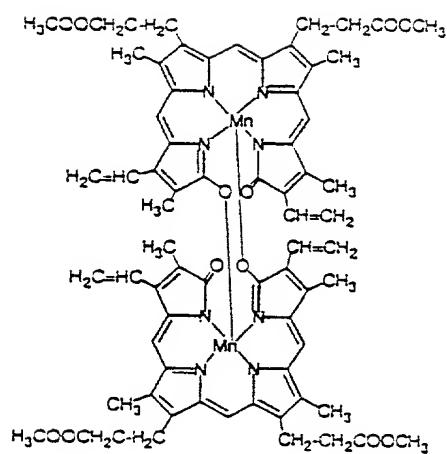
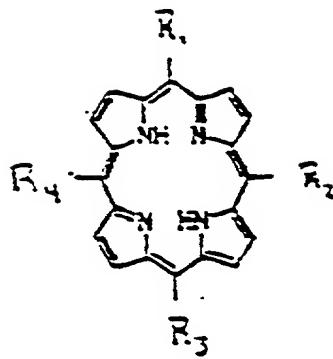
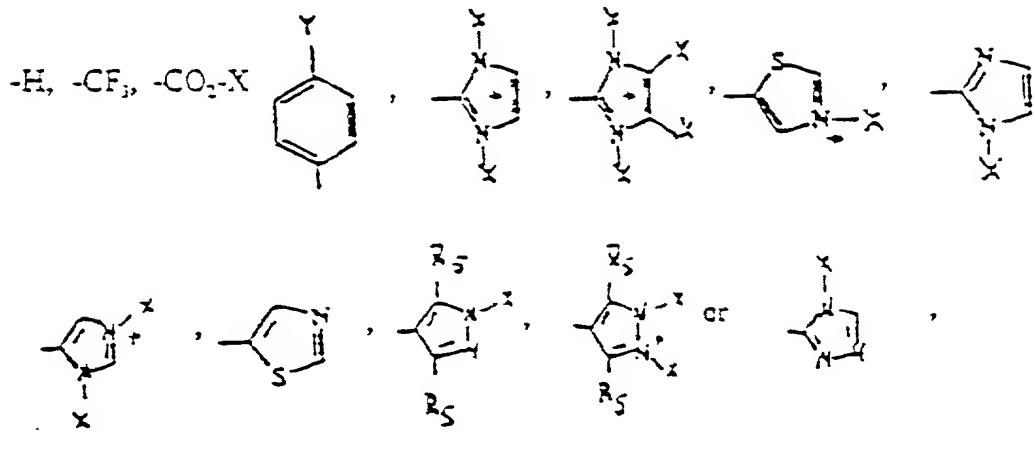


Fig. 1E

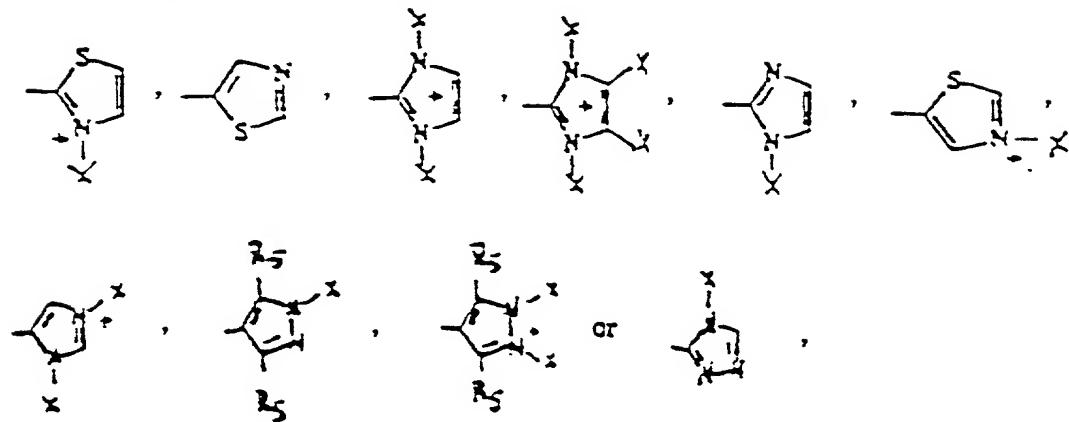


or pharmaceutically acceptable salt thereof
wherein:

R_1 and R_2 are the same and are:



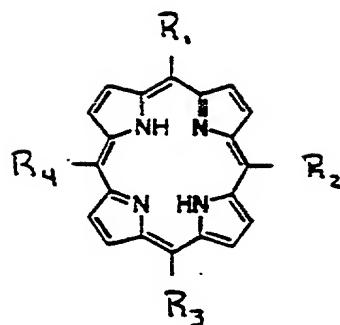
R_1 and R_2 are the same and are:



Y is halogen or -CO₂X,

each X is the same or different and is an alkyl and each R₃ is the same or different (preferably the same) and is H or alkyl.

Fig 1 E



I

or pharmaceutically acceptable salt thereof

wherein:

R₁ and R₃ are, independently:

-CO₂C₁₋₄ alkyl; or

-CO₂(CH₂)_nCX₃, wherein X is halogen and n = 1 to 3;

R₂ is:

-H

-C₁₋₄alkyl

-COOH

-CO₂C₁₋₄ alkyl,

-CO₂(CH₂)_nCX₃, wherein X is halogen and n = 1 to 3,

-CON(CH₃)₂, or

-CX₃, wherein X is halogen; and

R₄ is:

-H,

-C₁₋₄alkyl

-COOH,

-CO₂C₁₋₄ alkyl,

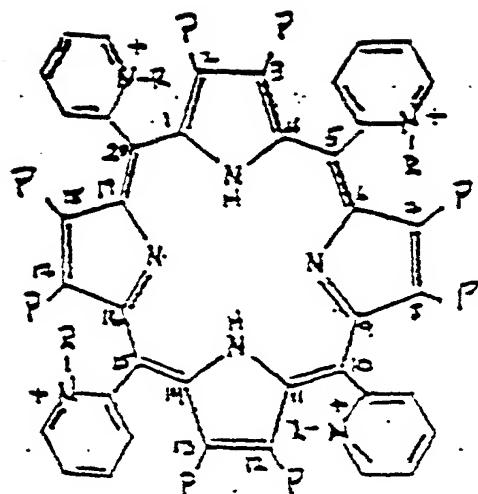
-CO₂(CH₂)_nCX₃, wherein X is halogen and n = 1 to 3,

-CON(CH₃)₂, or

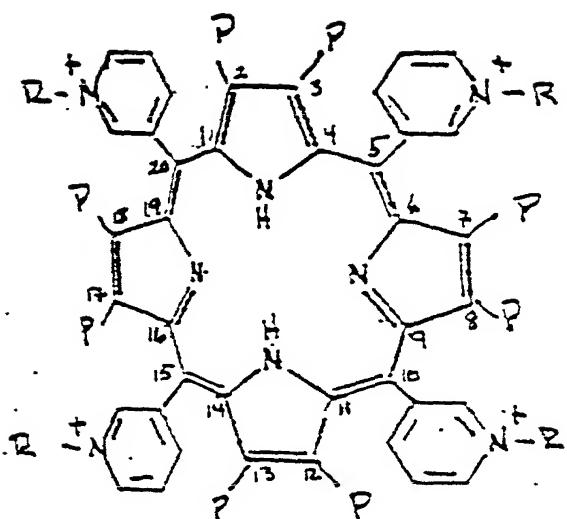
-CX₃, wherein X is halogen.

20054363 - Date 22/06

Fig 1G



I



or

II,

or pharmaceutically acceptable salt thereof,

wherein

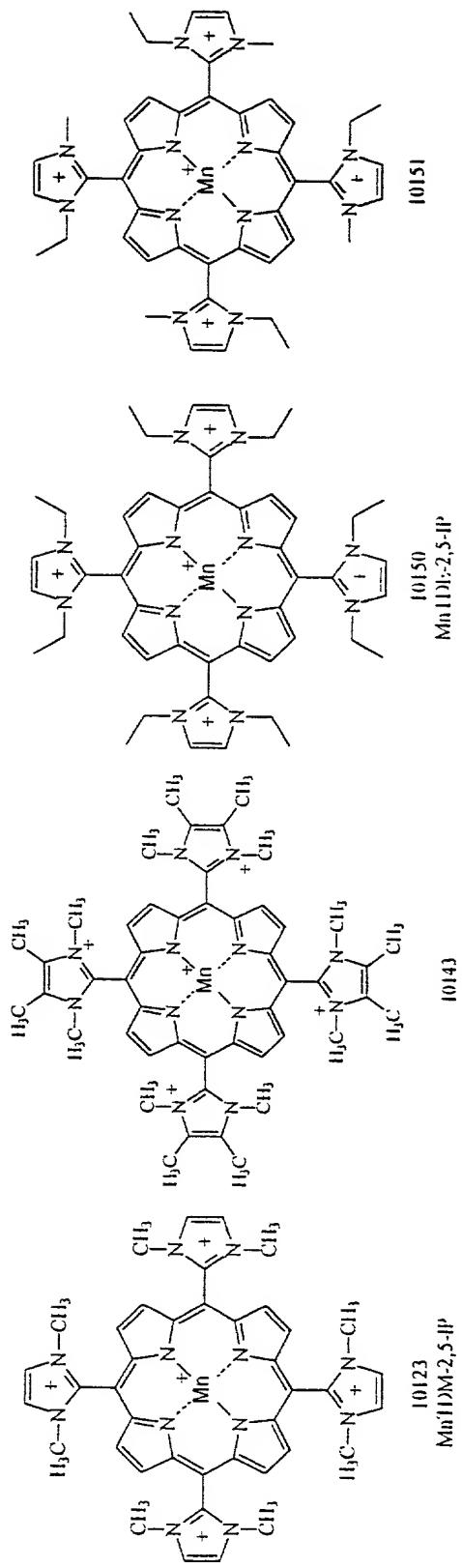
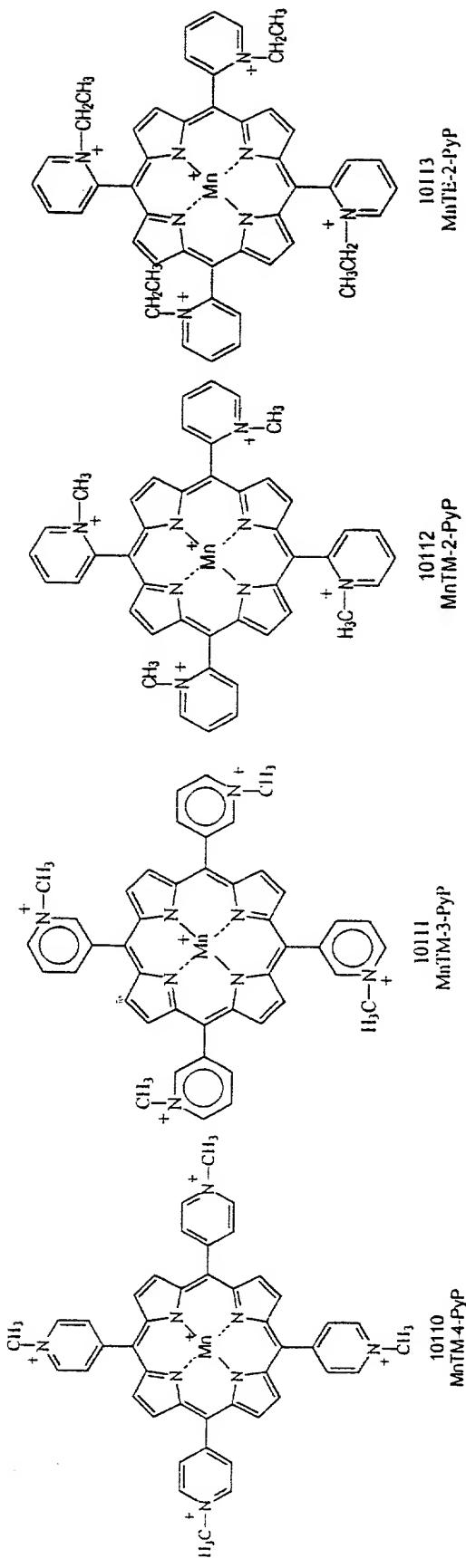
each R is, independently, a C₁-C₈ alkyl group,

and

each P is, independently, an electron withdrawing group or hydrogen.

100
90
80
70
60
50
40
30
20
10
0

200 210 200 190 180 170 160 150 140 130 120 110 100



cont nucle

1.0 0.5 1.35 P 0.1220

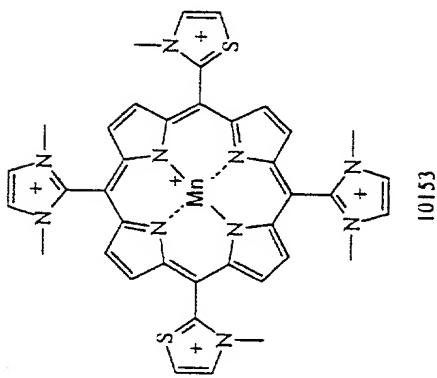
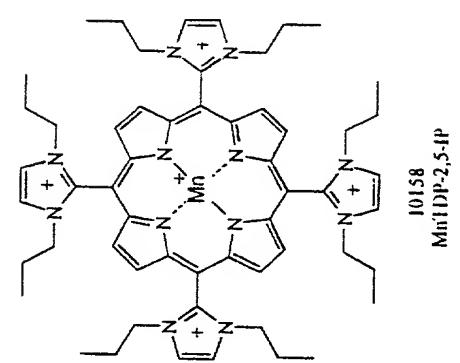
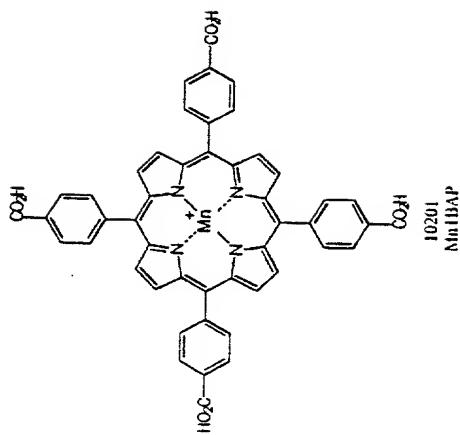


Figure 2A

B16 Melanoma tumor - SOD mimetic treatment

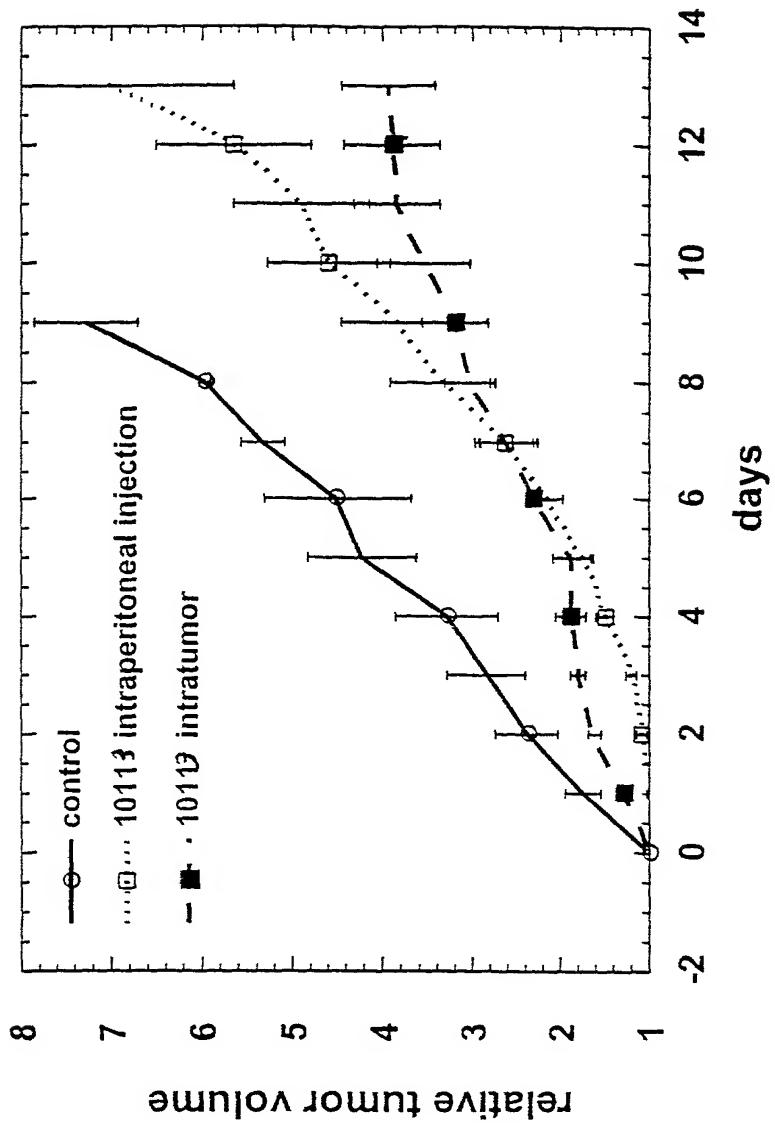
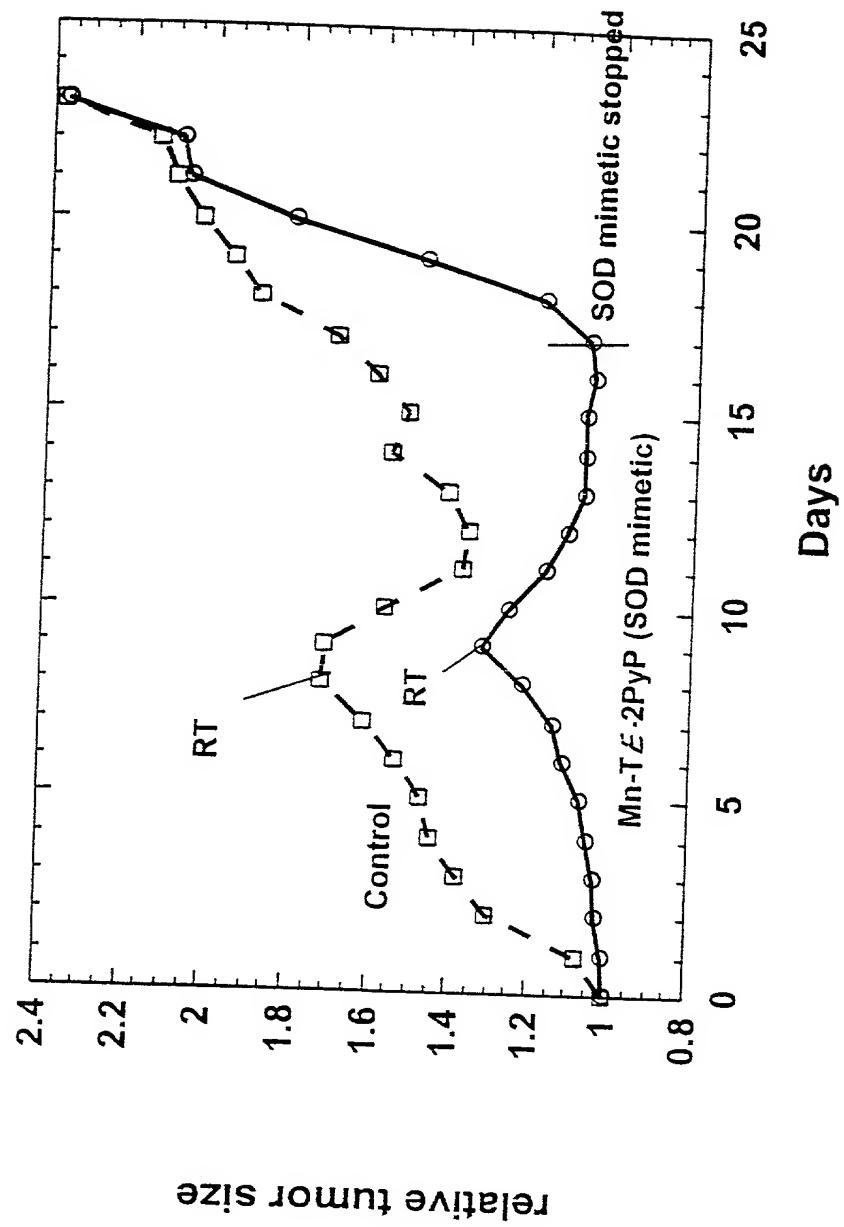


Figure 2B



Effect of Radiation & AEOL 10113 on Mammary Adenocarcinoma

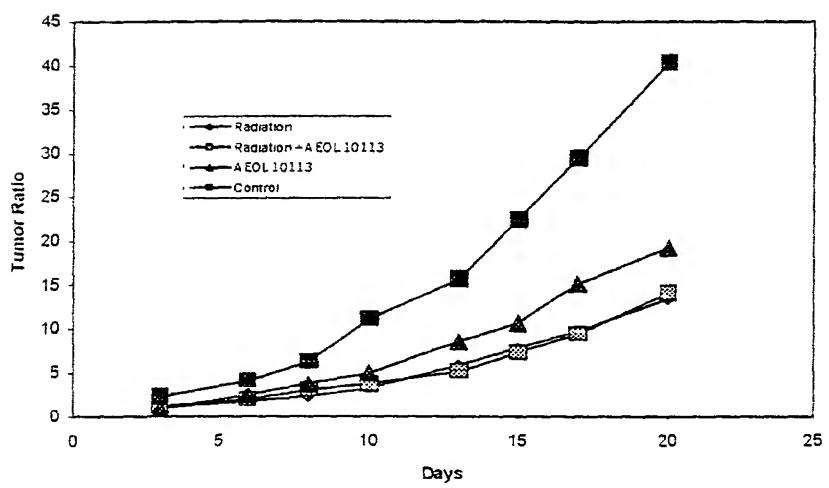


Fig 2C

Figure 3
R3230 AC Mammary Adenocarcinoma in Fisher rats
Tumor Growth Inhibition

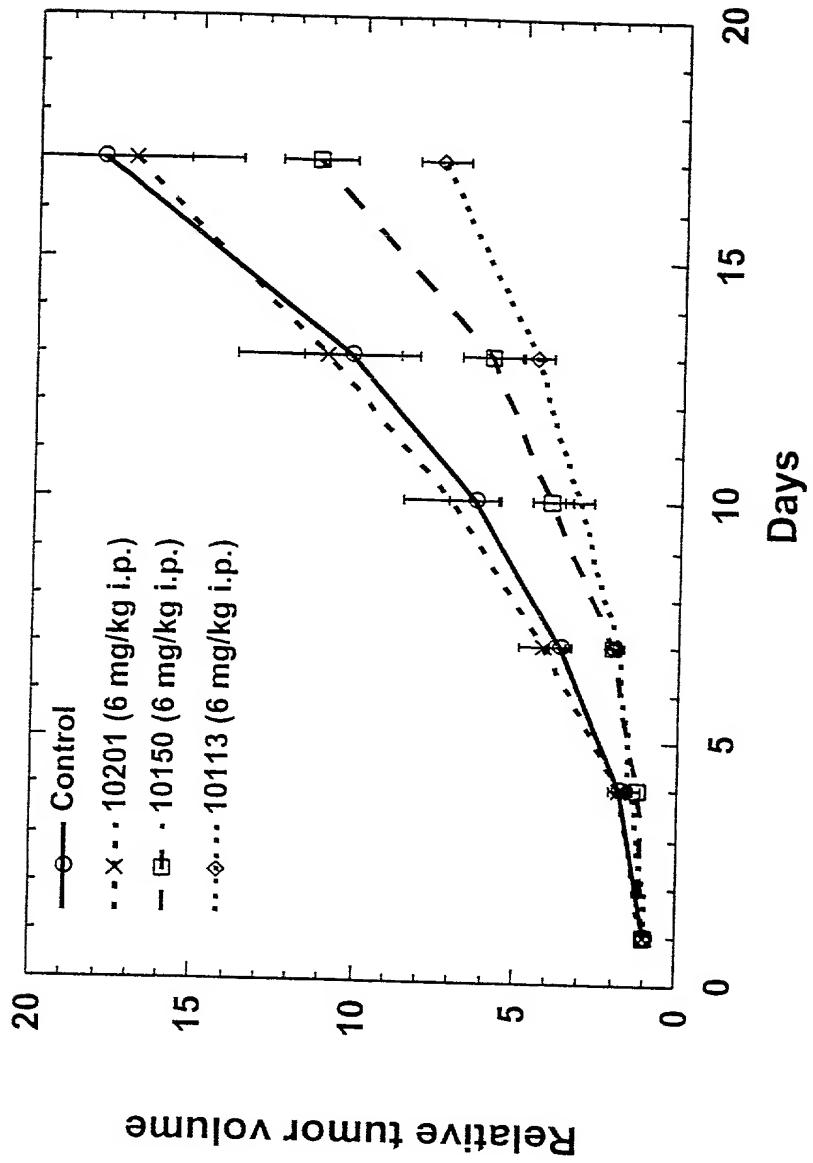
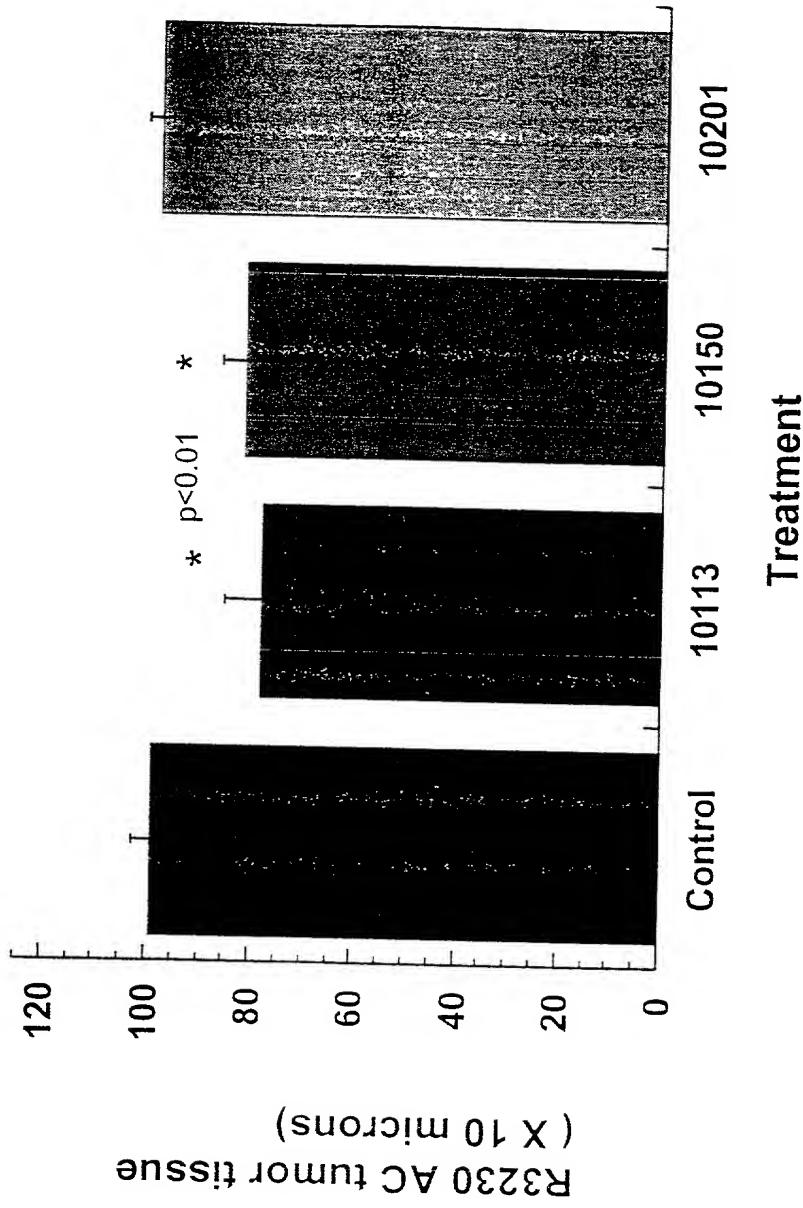


Figure 4

Tumor growth inhibition (s.q. chambers)
Dose = 6 mg/kg



Tumor Angiogenesis
Dose = 6 mg/kg

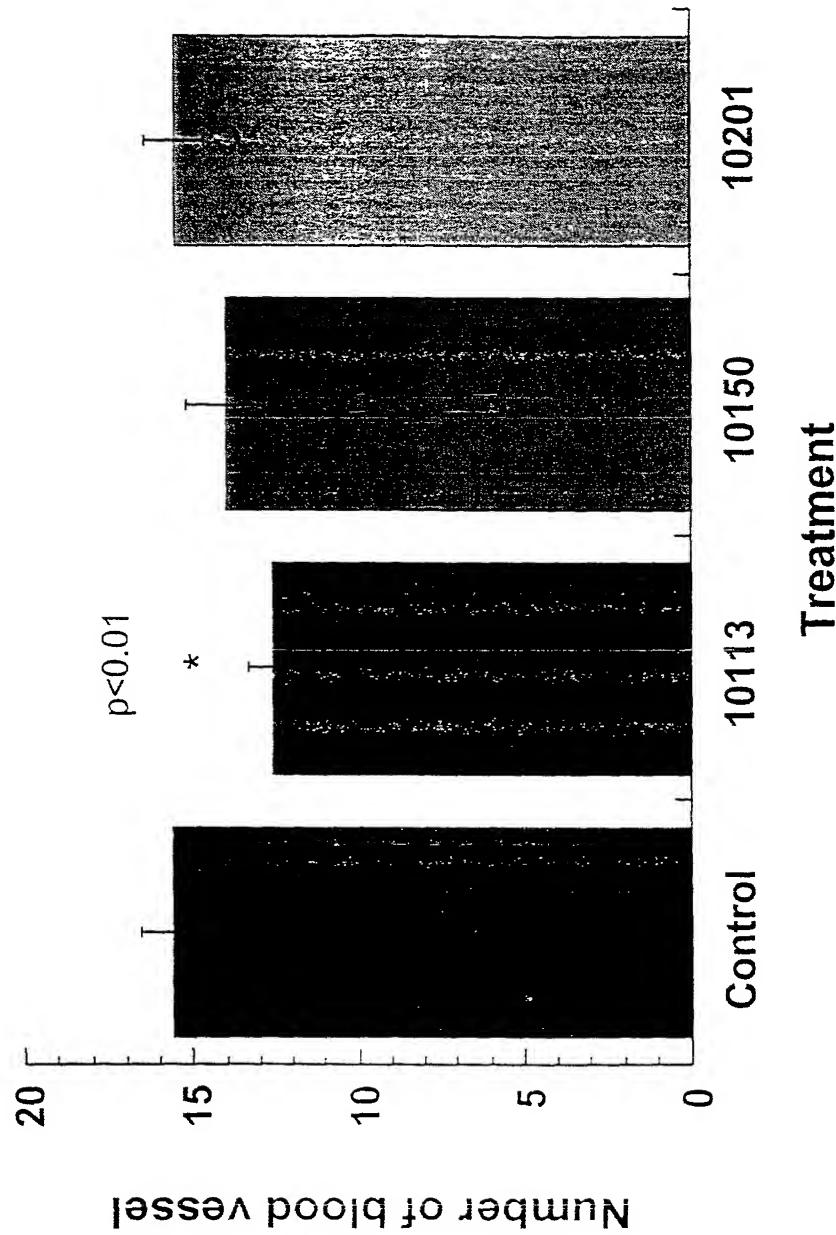
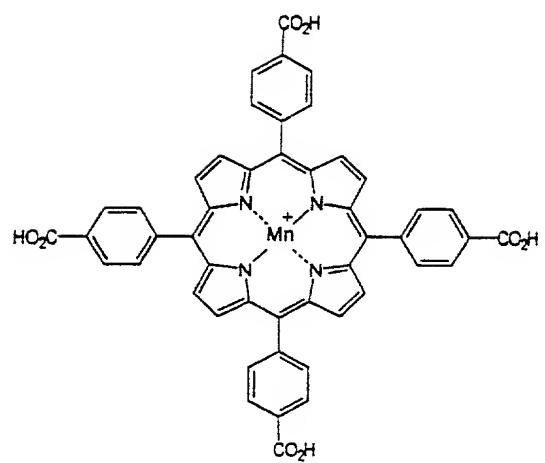


Figure 6



10051363 012202

Figure 7

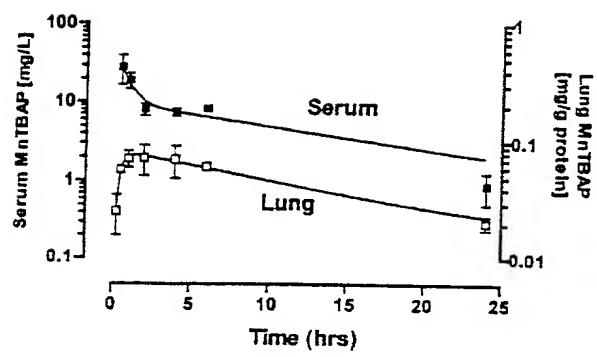


Figure 8

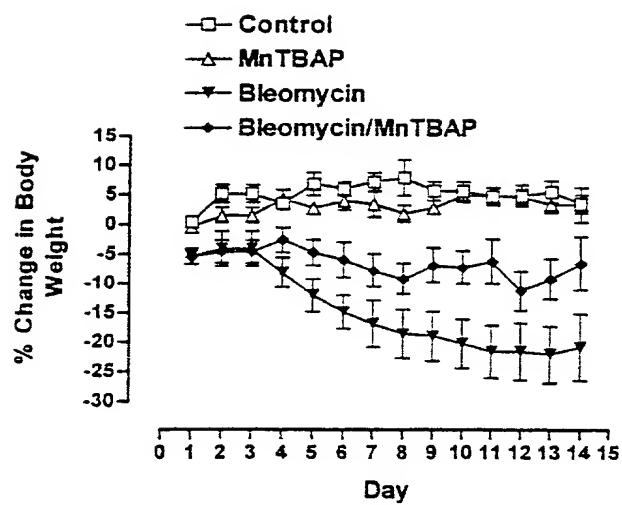
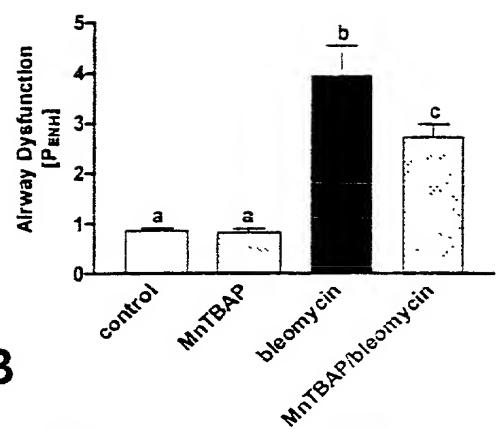


Figure 9

A



B

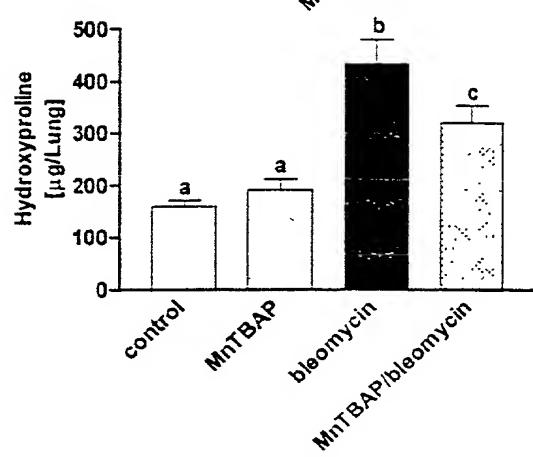
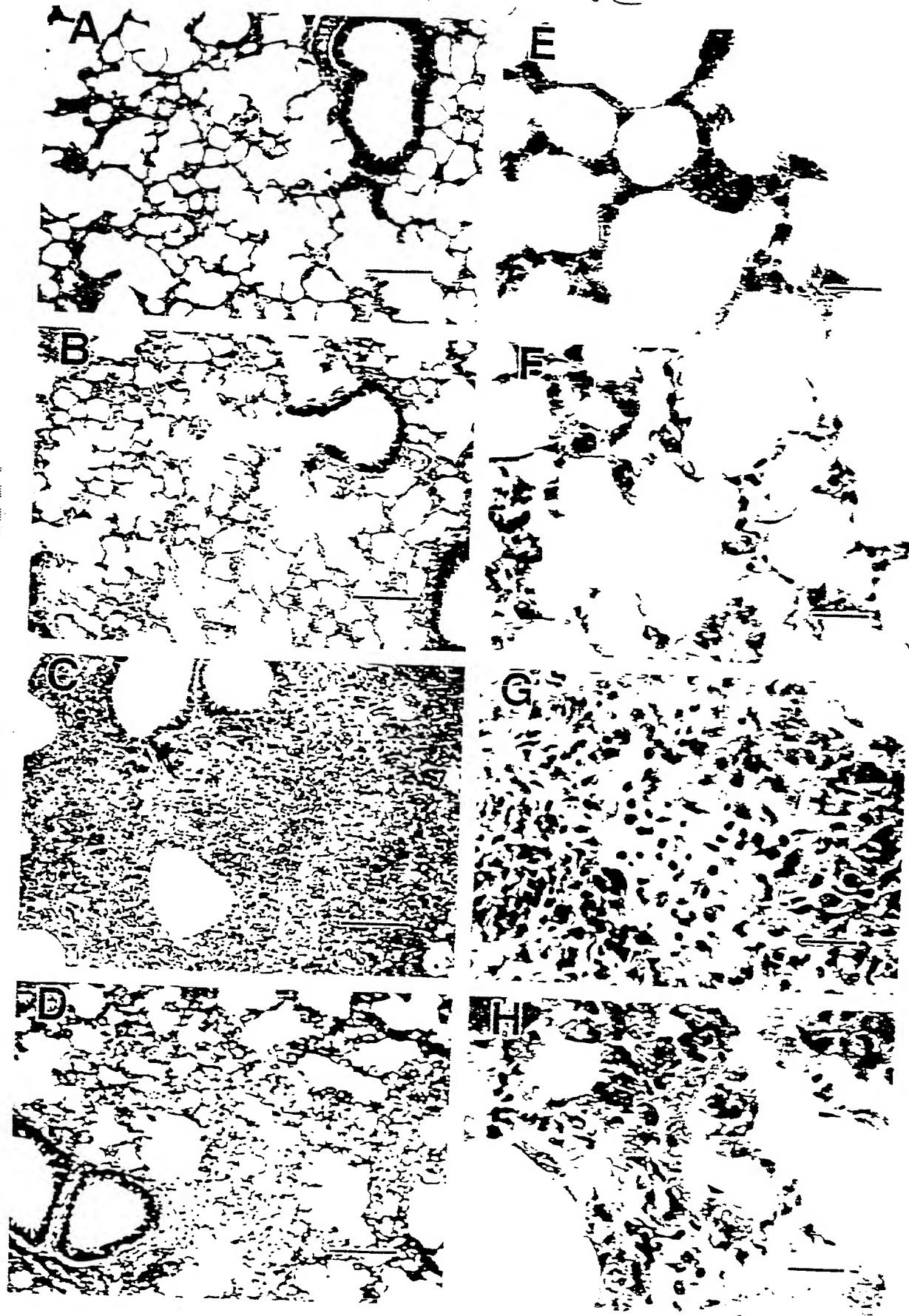


Figure 10



10051367 • 012202

Figure 11

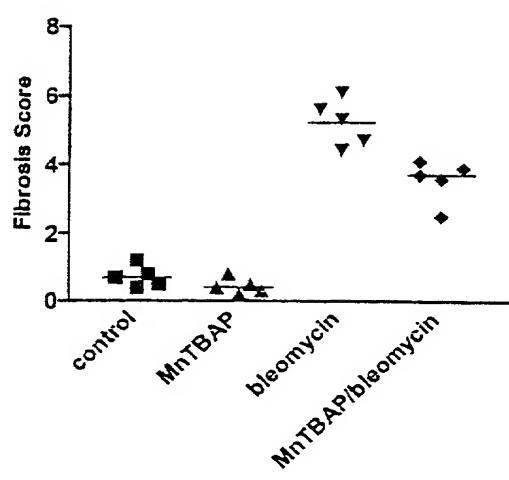


Figure 12

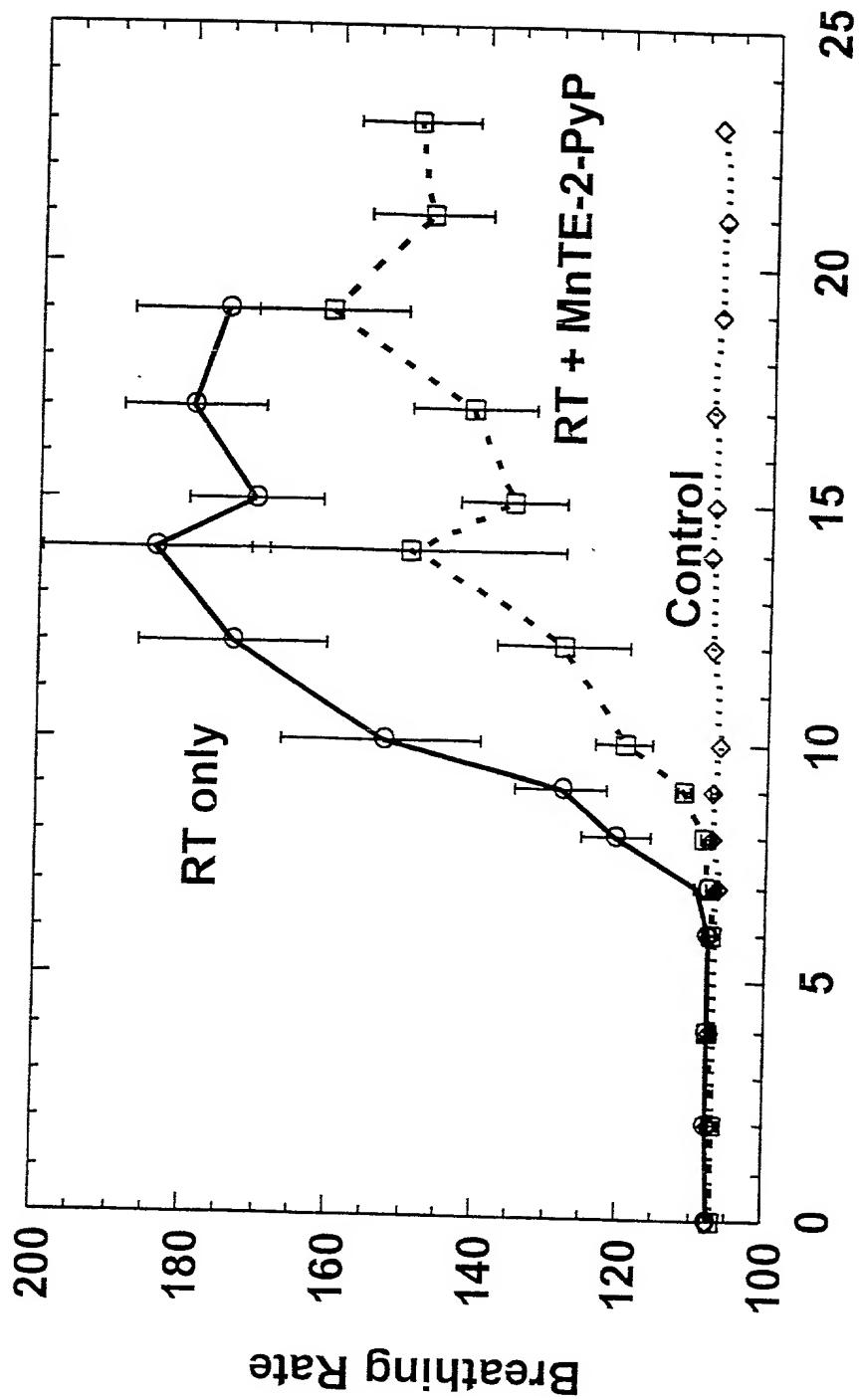


Figure 13A

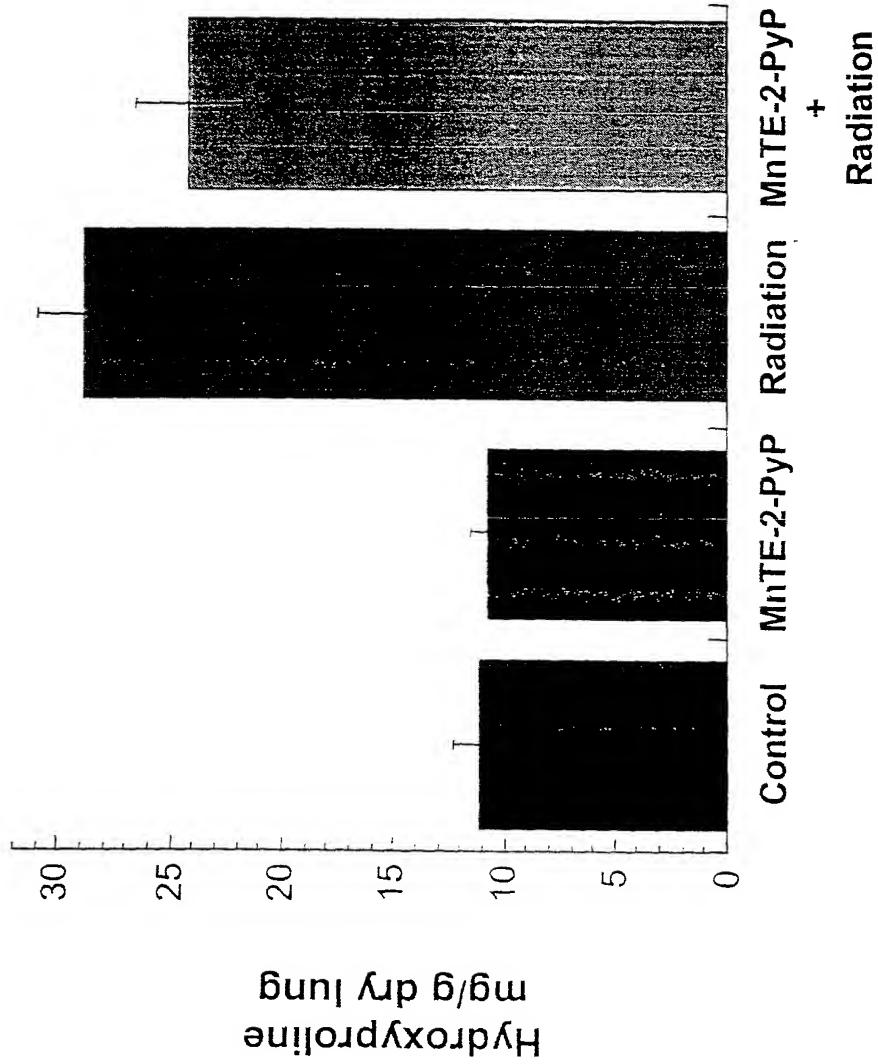


Figure 13B

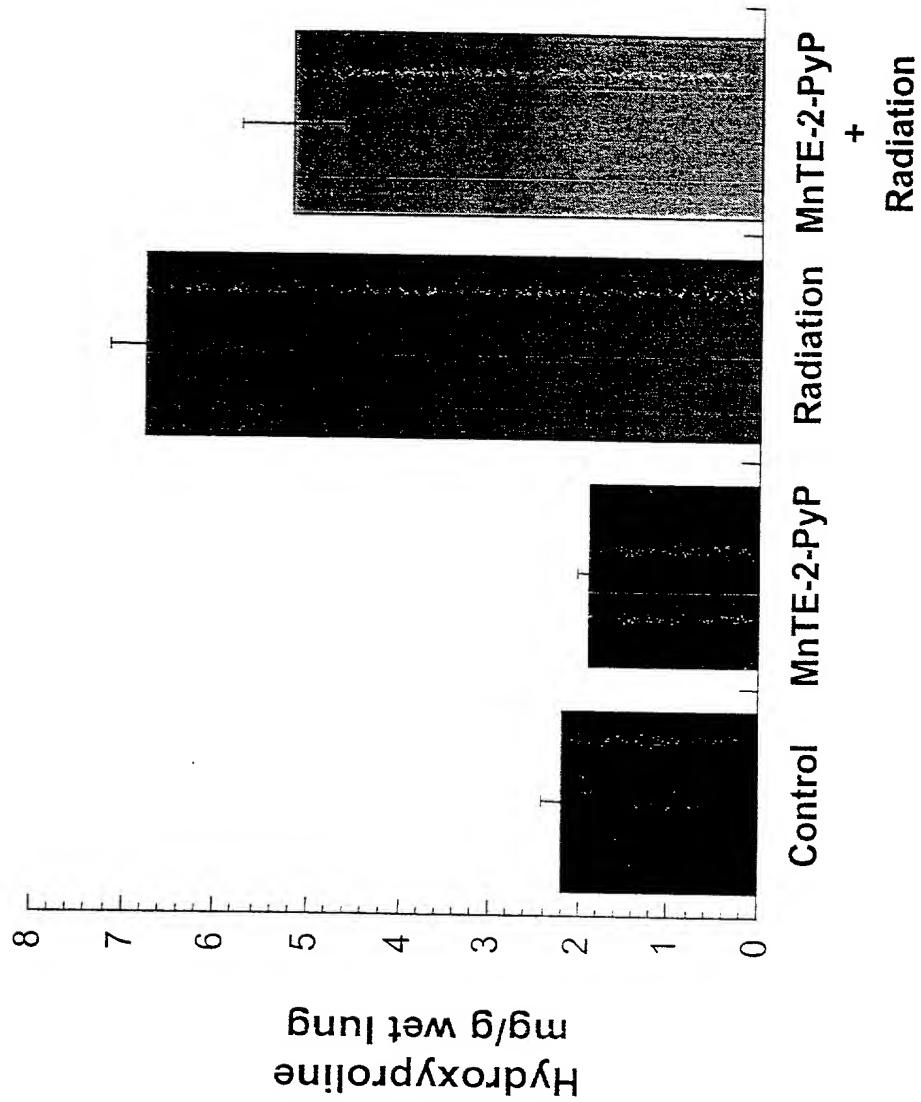


Figure 14

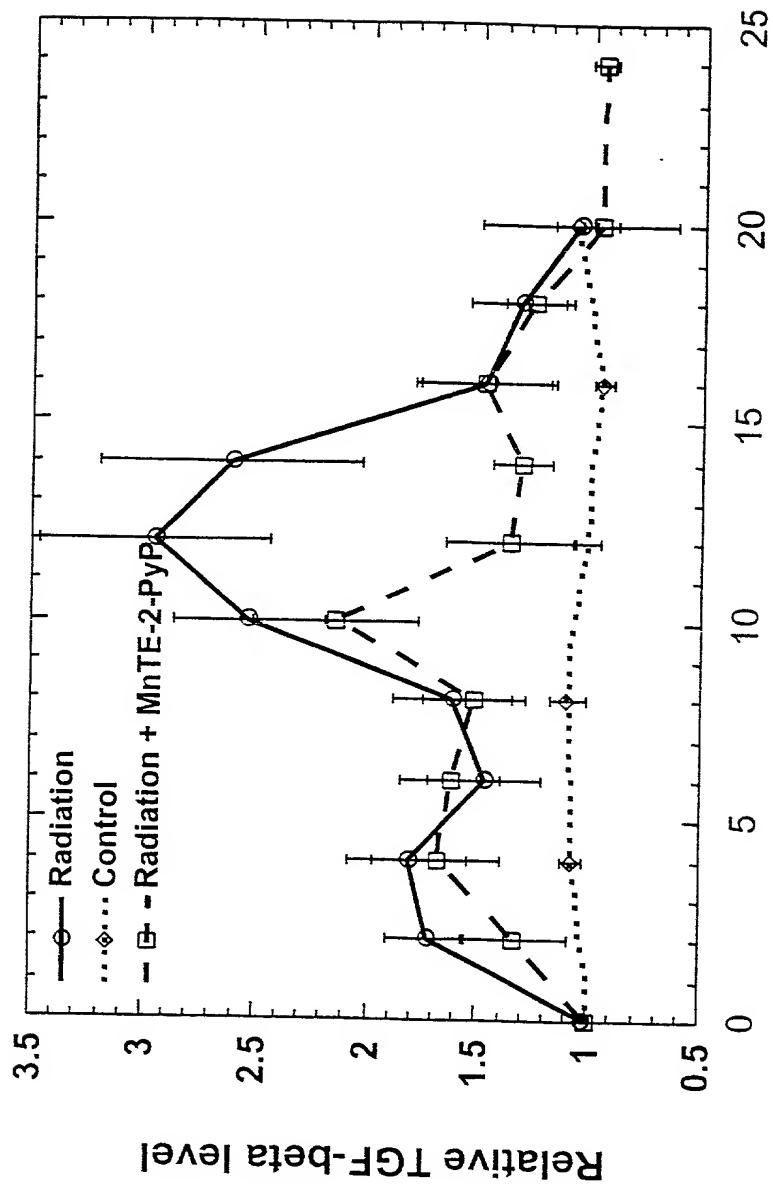


Figure 15

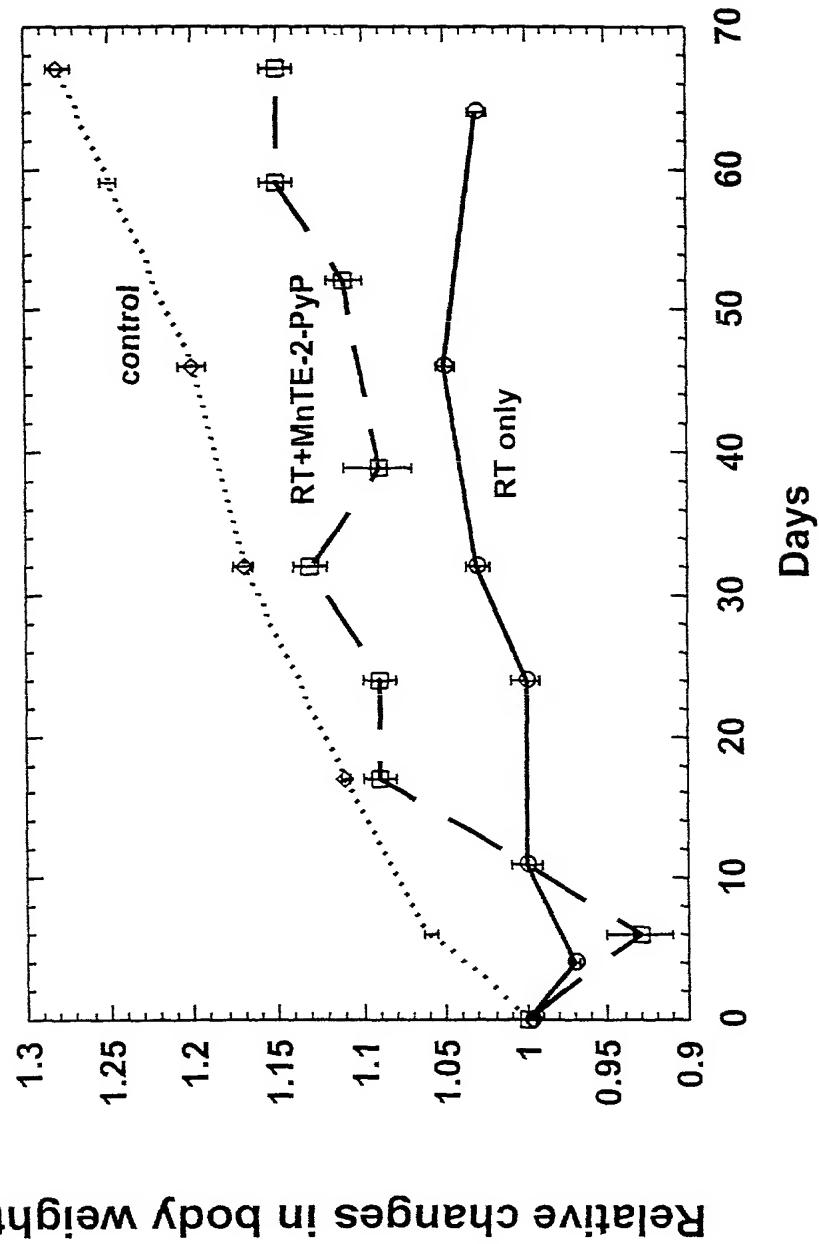


FIGURE 16
Growth of S. faecalis TCC 10113 at 24 hours

A549 3H-Thymidine uptake at 24 hours

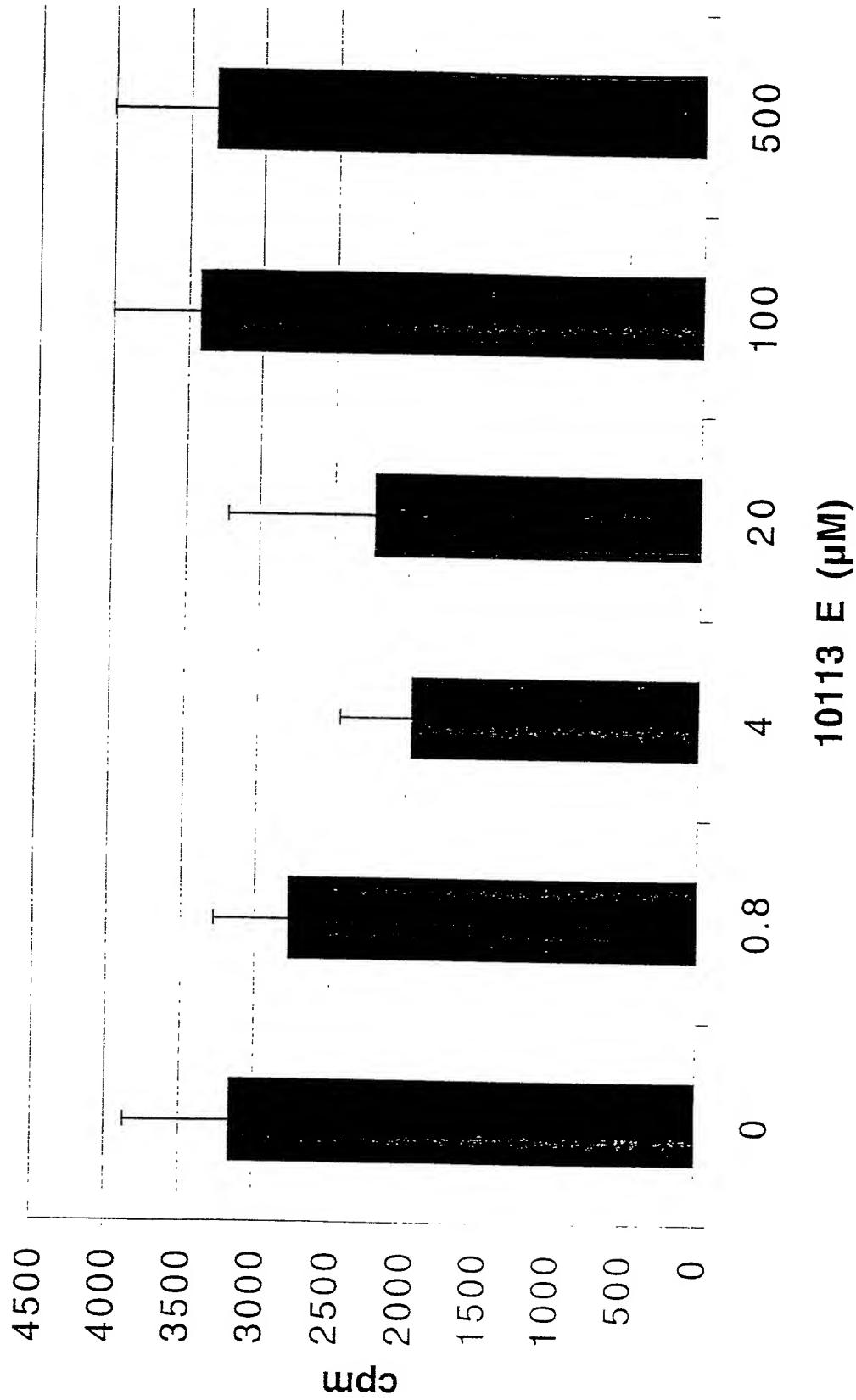


Figure 17

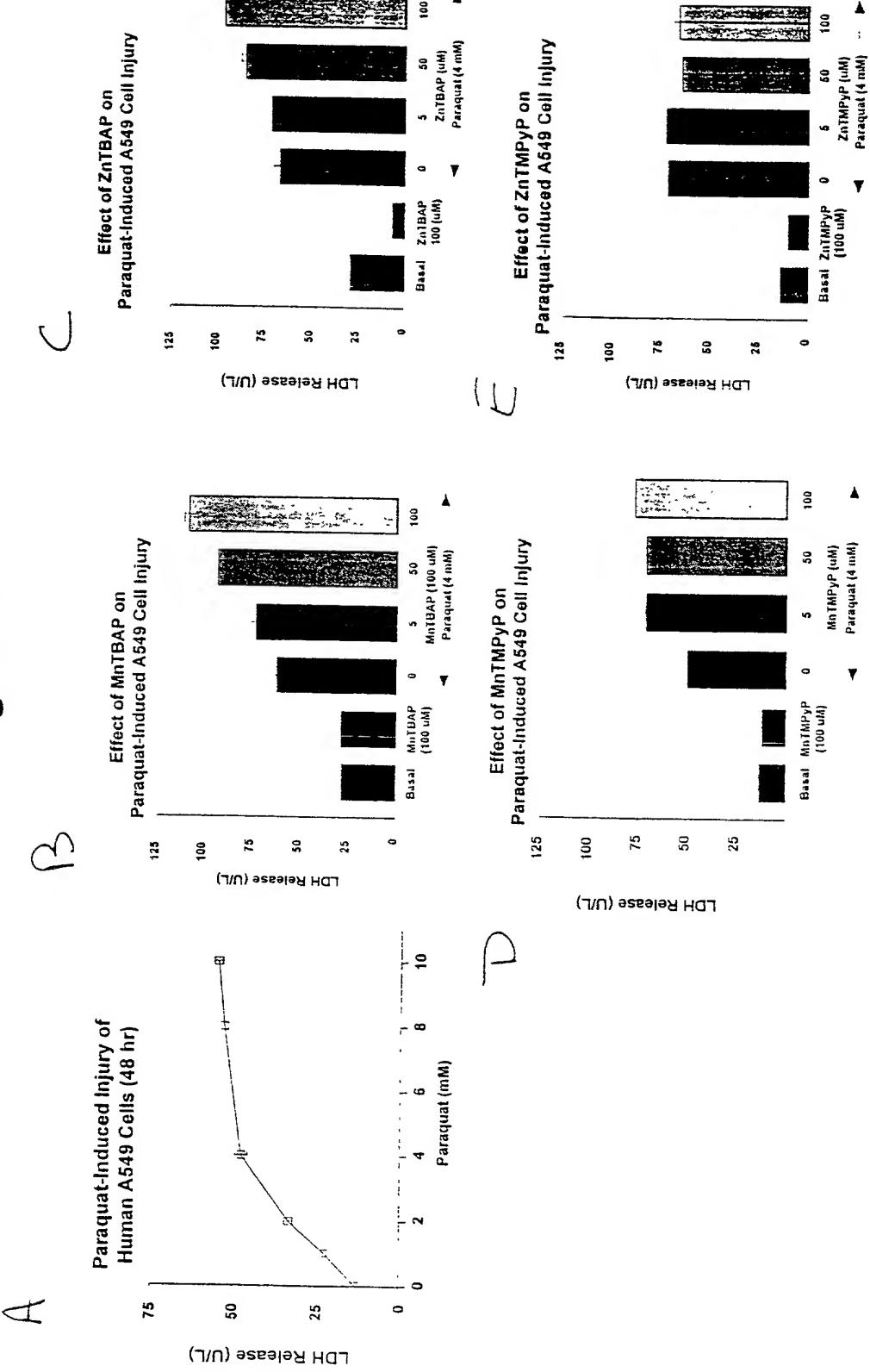
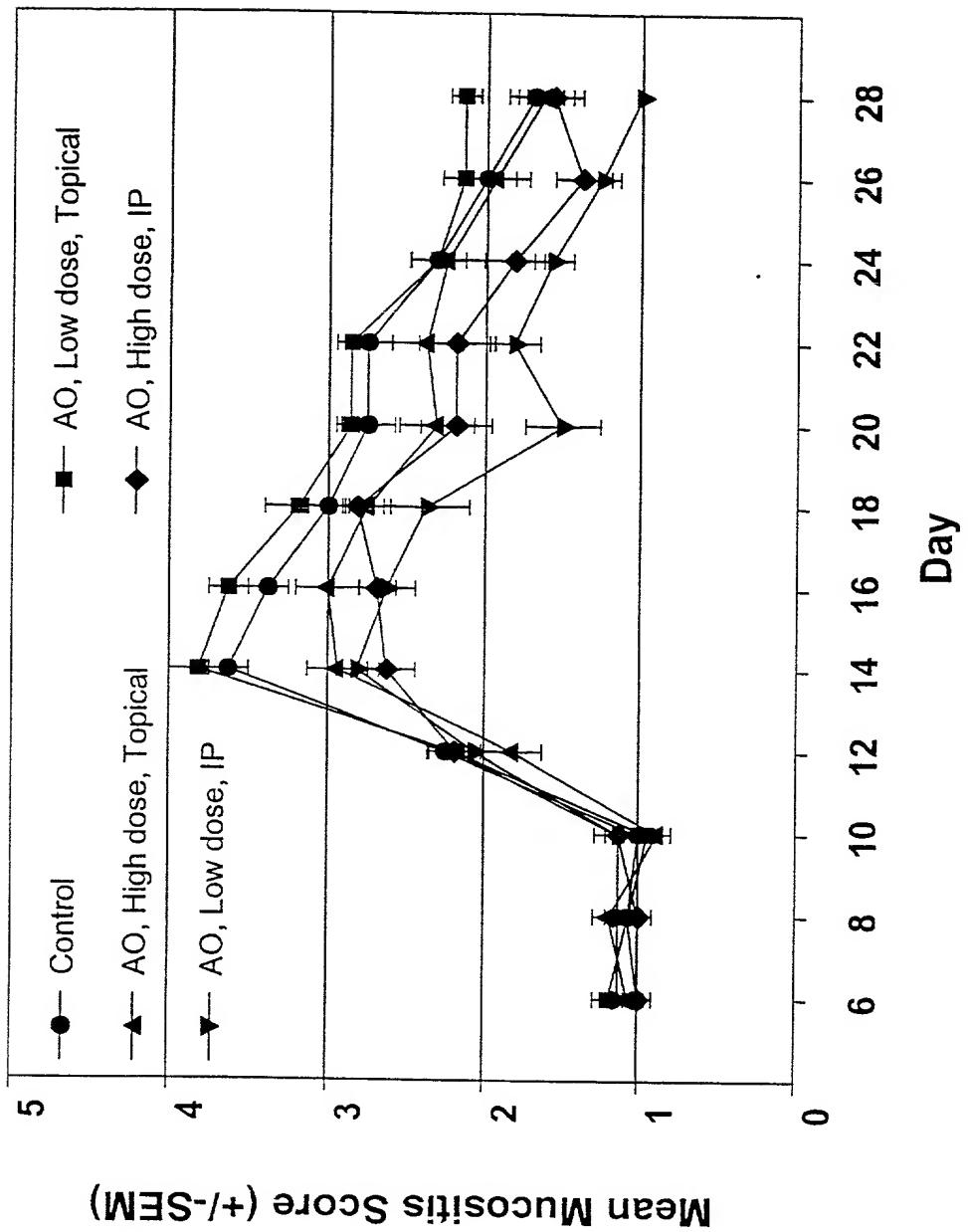


Figure 18

INC-01 Blinded Mucositis Scores



Percentage of Study Days with Ulceration as Indicated by a Score of 3 or Greater

